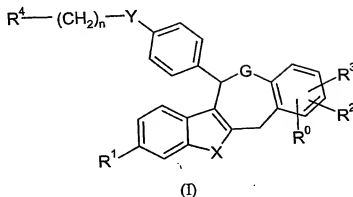


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I CLAIM:

1. A compound of the formula



wherein

R^1 is -H, -OH, -O(C₁-C₄ alkyl), -OCOC₆H₅, -OCO(C₁-C₆ alkyl), or -OSO₂(C₂-C₆ alkyl);

R^0 , R^2 and R^3 are each independently -H, -OH, -O(C₁-C₄ alkyl), -OCOC₆H₅, -OCO(C₁-C₆ alkyl), -OSO₂(C₂-C₆ alkyl) or halo;

R^4 is 1-piperidiny, 1-pyrrolidiny, methyl-1-pyrrolidiny, dimethyl-1-pyrrolidiny, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino;

n is 2 or 3;

X is -S- or -HC=CH-;

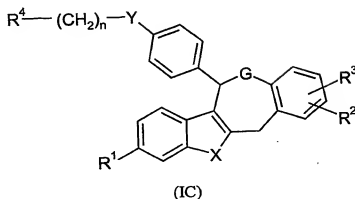
G is -O-, -S-, -SO-, SO₂, or -N(R⁵)-, wherein R⁵ is -H or C₁-C₄ alkyl; and

Y is -O-, -S-, -NH-, -NMe-, or -CH₂-;

or a pharmaceutically acceptable salt thereof.

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2. A compound of Claim 1 of the formula



5 wherein

R^1 is -H, -OH, -O(C₁-C₄ alkyl), -OCOC₆H₅, -OCO(C₁-C₆ alkyl), or -OSO₂(C₂-C₆ alkyl);

R^2 and R^3 are each independently -H, -OH, -O(C₁-C₄ alkyl), -OCOC₆H₅, -OCO(C₁-C₆ alkyl), -OSO₂(C₂-C₆ alkyl) or halo;

10 R^4 is 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino;

n is 2 or 3;

X is -S- or -HC=CH-;

15 G is -O-, -S-, -SO-, SO₂, or -N(R⁵)-, wherein R^5 is -H or C₁-C₄ alkyl; and

Y is -O-, -S-, -NH-, -NMe-, or -CH₂;

or a pharmaceutically acceptable salt thereof.

3. A compound according to either of Claims 1 or 2 wherein G is -O-.

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4. A compound according to any of Claims 1 to 3 wherein Y is -O-.

5. A compound according to any of Claims 1 to 4 wherein n is 2.

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6. A compound according to any of Claims 1 to 5 wherein R^1 is -OH or -OCH₃.

7. A compound according to any of Claims 1 to 6 wherein R^1 is $-OH$.
8. A compound according to any of Claims 1 to 7 wherein R^4 is 1-piperidinyl or 1-pyrrolidinyl.
- 5 9. A compound according to any of Claims 1 to 8 wherein R^4 is 1-piperidinyl.
- 10 10. A compound according to any of Claims 1 to 9 wherein two of R^0 , R^2 and R^3 is $-H$.
- 11 11. A compound according to any of Claims 1 to 9 wherein two of R^0 , R^2 and R^3 is $-H$ and the other is $-OH$.
- 12 12. A compound according to any of Claims 1 to 9 wherein all of R^0 , R^2 and R^3 are $-H$.
- 13 13. A compound according to any of Claims 1 to 9 wherein at least one of R^0 , R^2 , and R^3 is halo and the other or others is $-H$.
- 14 14. A compound according to any of Claims 1 to 13 wherein X is $-S-$.
- 15 15. A compound according to any of Claims 1 to 13 wherein X is $-HC=CH-$.
- 16 16. A compound according to Claim 1 wherein said compound is 5-[4-(2-piperidin-1-yl-ethoxy)-phenyl]-5,11-dihydro-6-oxa-12-thia-dibenzo[a,f]azulen-2-ol or a pharmaceutically acceptable salt thereof.
- 17 17. A compound according to Claim 1 wherein said compound is 13-[4-(2-piperidin-1-yl-ethoxy)-phenyl]-7,13-dihydro-12-oxa-benzo[4,5]cyclohepta[1,2-a]naphthalen-3-ol or a pharmaceutically acceptable salt thereof.
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18. A pharmaceutical composition comprising a compound according to Claim 1 or a pharmaceutically acceptable salt thereof, and optionally an effective amount of estrogen and progestin, in combination with a pharmaceutically acceptable salt, diluent, or excipient.
- 5 19. A method for inhibiting a disease associated with estrogen deprivation comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to any one of Claims 1 through 17.
- 10 20. A method according to Claim 19 wherein said patient is a human.
21. A method according to Claim 20 wherein said patient is a postmenopausal female.
- 15 22. A method according to any of Claims 19 through 21 wherein said disease associated with estrogen deprivation is bone loss.
23. A method according to any of Claims 19 through 21 wherein said disease associated with estrogen deprivation is cardiovascular disease.
- 20 24. A method for inhibiting a disease associated with an aberrant physiological response to endogenous estrogen comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to any one of Claims 1 through 17.
- 25 25. A method according to Claim 24 wherein said patient is a human.
26. A method according to Claim 25 wherein said patient is a postmenopausal female.

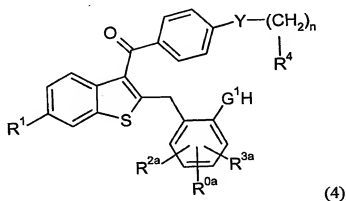
27. A method according to any of Claims 24 through 26 wherein the disease associated with an aberrant physiological response to endogenous estrogen is estrogen dependent cancer,

28. A method according to Claim 27 wherein said cancer is breast cancer.

29. A method according to any of Claims 24 through 26 wherein the disease associated with an aberrant physiological response to endogenous estrogen is endometriosis.

30. A method according to any of Claims 24 through 26 wherein the disease associated with an aberrant physiological response to endogenous estrogen is uterine fibrosis.

31. A compound of the formula



wherein

R^1 is -H, -OH, -O(C₁-C₄ alkyl), -OCOC₆H₅, -OCO(C₁-C₆ alkyl), or -OSO₂(C₂-C₆ alkyl);

R^{0a} , R^{2a} and R^{3a} are each independently -H, -OPg, or halo, wherein Pg is a hydroxy protecting group;

R^4 is 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-

hexamethyleneimino;

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n is 2 or 3;

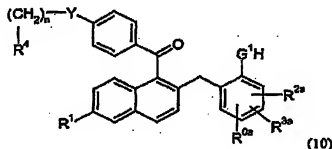
G¹ is -O-, -S-, or -N(R²)-, wherein R² is -H or C₁-C₄ alkyl; andY is -O-, -S-, -NH-, -NMe-, or -CH₂-;

- 5 or a pharmaceutically acceptable salt thereof.

32. A compound according to Claim 31 wherein said compound is [6-hydroxy-2-(2-hydroxy-benzyl)-benzo[b]thiophen-3-yl]-[4-(2-piperidin-1-yl-ethoxy)-phenyl]-methanone.

10

33. A compound of the formula



- 15 wherein

R¹ is -H, -OH, -O(C₁-C₄ alkyl), -OCOC₆H₅, -OCO(C₁-C₆ alkyl), or -OSO₂(C₂-C₆ alkyl);

R^{2a}, R^{2b} and R^{2c} are each independently -H, -OPg, or halo, wherein Pg is a hydroxy protecting group;

- 20 R⁴ is 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino;

n is 2 or 3;

G¹ is -O-, -S-, or -N(R²)-, wherein R² is -H or C₁-C₄ alkyl; and

- 25 Y is -O-, -S-, -NH-, -NMe-, or -CH₂-;

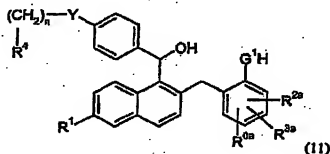
or a pharmaceutically acceptable salt thereof.

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34. A compound according to Claim 33 wherein said compound is [6-hydroxy-2-(2-hydroxy-benzyl)-naphthalen-1-yl]-[4-(2-piperidin-1-yl-ethoxy)-phenyl]-methanone.

35. A compound of the formula



wherein

10 R^1 is -H, -OH, -O(C₁-C₄ alkyl), -OCOC₆H₅, -OCO(C₁-C₆ alkyl), or -OSO₂(C₂-C₆ alkyl);

R^{2a} , R^{2a} and R^{3a} are each independently -H, -OPg, or halo, wherein Pg is a hydroxy protecting group;

15 R^4 is 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino;

n is 2 or 3;

G^1 is -O-, -S-, or -N(R⁵)-, wherein R^5 is -H or C₁-C₄ alkyl; and

Y is -O-, -S-, -NH-, -NMe-, or -CH₂;

20 or a pharmaceutically acceptable salt thereof.

36. A compound according to Claim 35 wherein said compound is 6-(2-hydroxy-benzyl)-5-{hydroxy-[4-(2-piperidin-1-yl-ethoxy)-phenyl]-methyl}-naphthalen-2-ol.